

PATENT COOPERATION TREATY
PCT
INTERNATIONAL PRELIMINARY EXAMINATION REPORT
(PCT Article 36 and Rule 70)

REC'D 19 MAY 2004

WIPO PCT

Applicant's or agent's file reference G21351WO	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/JP 03/07546	International filing date (day/month/year) 13.06.2003	Priority date (day/month/year) 14.06.2002
International Patent Classification (IPC) or both national classification and IPC A61K31/4439		
Applicant TAKEDA CHEMICAL INDUSTRIES, LTD. et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
 - This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.
3. This report contains indications relating to the following items:
 - I Basis of the opinion
 - II Priority
 - III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
 - IV Lack of unity of invention
 - V Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
 - VI Certain documents cited
 - VII Certain defects in the international application
 - VIII Certain observations on the international application

Date of submission of the demand 22.07.2003	Date of completion of this report 18.05.2004
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INTERNATIONAL PRELIMINARY
EXAMINATION REPORT

International application No.

PCT/JP 03/07546

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-201 as originally filed

Claims, Numbers

1-212 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- the language of publication of the international application (under Rule 48.3(b)).
- the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- contained in the international application in written form.
- filed together with the international application in computer readable form.
- furnished subsequently to this Authority in written form.
- furnished subsequently to this Authority in computer readable form.
- The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- the description, pages:
- the claims, Nos.:
- the drawings, sheets:

5. This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).
(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

the entire international application,

claims Nos. 20,21

because:

the said international application, or the said claims Nos. 20,21 relate to the following subject matter which does not require an international preliminary examination (specify):

see separate sheet

the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):

the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.

no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

the written form has not been furnished or does not comply with the Standard.

the computer readable form has not been furnished or does not comply with the Standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N) Yes: Claims 1-24
No: Claims

Inventive step (IS) Yes: Claims
No: Claims 1-24

Industrial applicability (IA) Yes: Claims 1-19,22-24
No: Claims

2. Citations and explanations

see separate sheet

III. Non-establishment of opinion

Claims 20, 21 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(i) PCT).

V. Reasoned statement

Reference is made to the following document:

D1: US-A-4 686 230

Novelty

The compounds of present claims 1 and 13 fall within the general formula I of D1. However, as D1 does not disclose any compounds or sub-groups wherein R5 is a substituted carbamoyl group wherein the substituent is a -W-D₁-C(=X₂)-D₂-Y group, the present compounds may be considered a novel selection of D1. Claims 1-24 therefore fulfil the requirements of Article 33(2) PCT.

Inventive step

The compounds of D1 wherein R5 is a group which can readily be eliminated under physiological conditions are prodrugs for gastric acid secretion inhibitors. The technical problem to be solved by the present compounds appears to be the provision of further compounds with this activity. As D1 encompasses compounds wherein the R5 group is a substituted carbamoyl group, solving the problem by selecting specifically substituted carbamoyl groups is an obvious way of solving the problem. Thus, in the absence of any evidence to show that the presently claimed carbamoyl substituents have any unexpected effect over the substituents mentioned in D1 (phenylcarbamoyl, dimethylcarbamoyl), claims 1-24 do not fulfil the requirements of Article 33(3) PCT.

Industrial applicability

Claims 1-19, 22-24 fulfil the requirements of Article 33(4) PCT.

No unified criteria exist in the PCT Contracting States for assessing whether present claims 20, 21 are industrially applicable. The patentability can be dependent upon the formulation of the claims. For example, the EPO does not consider claims to the use of a compound in medical treatment to be industrially applicable, but allows claims to a known compound for first use in medical

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treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.